

Claims

1. A compound according to the formula

A-Z-W (formula I)

or a pharmaceutically acceptable salt, solvate, or hydrate thereof; wherein

5 A is selected from the groups consisting of:

A'-(CH<sub>2</sub>)<sub>n</sub> -, A'-(CH<sub>2</sub>)<sub>n</sub>SO<sub>2</sub> -, and A'-(CH<sub>2</sub>)<sub>n</sub>CO -, where n is 0 to 4; and

A' is selected from

(a) (C<sub>6</sub>-C<sub>10</sub>)aryl-, selected from phenyl or naphthyl; or

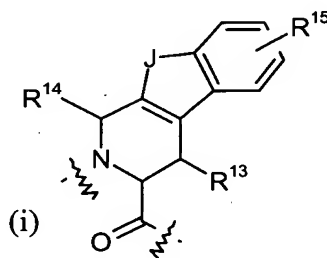
(b) (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-, selected from the group consisting of furyl-, thienyl-  
10 thiazolyl-, pyrazolyl-, isothiazolyl-, oxazolyl-, isoxazolyl-, pyrrolyl-, triazolyl-, tetrazolyl-,  
imidazolyl-, 1,3,5-oxadiazolyl-, 1,2,4-oxadiazolyl-, 1,2,3-oxadiazolyl-, 1,3,5-thiadiazolyl-, 1,2,3-  
thiadiazolyl-, 1,2,4-thiadiazolyl-, pyridyl-, pyrimidyl-, pyrazinyl-, pyridazinyl-, 1,2,4-triazinyl-,  
1,2,3-triazinyl-, 1,3,5-triazinyl-, pyrazolo[3,4-b]pyridinyl-, cinnolinyl-, pteridinyl-, purinyl-, 6,7-  
dihydro-5H-[1]pyrindinyl-, benzo[b]thiophenyl-, 5, 6, 7, 8-tetrahydro-quinolin-3-yl,  
15 benzoxazolyl-, benzothiazolyl-, benzisothiazolyl-, benzisoxazolyl-, benzimidazolyl-,  
thianaphthenyl-, isothianaphthenyl-, benzofuranyl-, isobenzofuranyl-, isoindolyl-, indolyl-,  
indolizinyll-, indazolyl-, isoquinolyl-, quinolyl-, phthalazinyl-, quinoxalinyll-, quinazolinyll-, and  
benzoxazinyl-;

wherein said A' group (a) or (b) is optionally substituted by zero to seven, preferably  
20 zero to five groups, each independently selected from:

hydroxy, halo, amino, trifluoromethyl-, carboxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-, (C<sub>1</sub>-C<sub>6</sub>)acyloxy-, (C<sub>1</sub>-  
C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino-, (C<sub>1</sub>-C<sub>6</sub>)acylamino-, cyano, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-  
C<sub>6</sub>)alkenyl-, (C<sub>2</sub>-C<sub>6</sub>)alkynyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino-, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl-, trifluoromethyl(C<sub>1</sub>-  
C<sub>6</sub>)alkyl-, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>3</sub>)alkyl(difluoromethylene)(C<sub>1</sub>-C<sub>3</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-  
25 C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)acylamino-, amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, amino(C<sub>1</sub>-C<sub>6</sub>)acyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-,  
(C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-  
C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acyloxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, piperazinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-  
C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-  
C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-  
30 C<sub>6</sub>)alkylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-,  
(C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-  
C<sub>6</sub>)alkyl(difluoromethylene)-, (C<sub>1</sub>-C<sub>3</sub>)alkyl(difluoromethylene)(C<sub>1</sub>-C<sub>3</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-  
C<sub>6</sub>)acyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>1</sub>-  
C<sub>9</sub>)heteroaryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>6</sub>-C<sub>10</sub>)aryl-,  
35 (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>3</sub>-  
C<sub>10</sub>)heterocycloalkyl-, (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, hydroxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-  
C<sub>6</sub>)acyloxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, piperazinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-

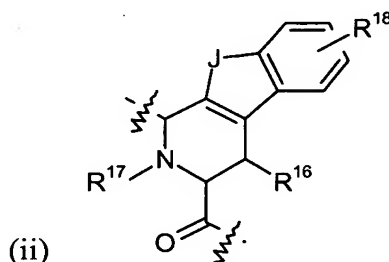
- 5 C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryltio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, and ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl-;

Z is selected from groups (i) to (iv):

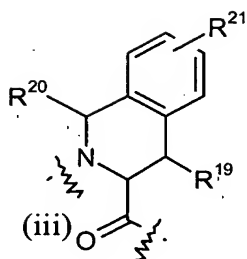


- 10 where R<sup>13</sup> is H, or (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by one or more halo groups;  
R<sup>14</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoro(C<sub>1</sub>-C<sub>6</sub>)alkyl-, or phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are each optionally substituted by one or more halo groups, or R<sup>14</sup> is selected from the groups A above, optionally substituted by one or more halo groups;

- R<sup>15</sup> is selected from hydroxy, halo, (C<sub>1</sub>-C<sub>6</sub>)alkyl- optionally substituted by one or more halo, and (C<sub>1</sub>-C<sub>6</sub>)alkoxy- optionally substituted by one or more halo; and  
15 J is S, O, -NH-, or NCH<sub>3</sub>;



- 20 where R<sup>16</sup> is H, or (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by one or more halo groups;  
R<sup>17</sup> is a group selected from R<sup>14</sup> above, or R<sup>14</sup>K- where K is -C(O)- or -SO<sub>2</sub>-; and  
R<sup>18</sup> is selected from hydroxy, halo, (C<sub>1</sub>-C<sub>6</sub>)alkyl- optionally substituted by one or more halo, and (C<sub>1</sub>-C<sub>6</sub>)alkoxy- optionally substituted by one or more halo; and  
J is S, O, -NH-, or NCH<sub>3</sub>;

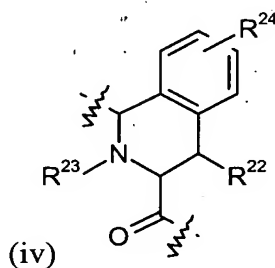


where  $R^{19}$  is H, or  $(C_1-C_6)$ alkyl optionally substituted by one or more halo groups;

5  $R^{20}$  is H,  $(C_1-C_6)$ alkyl, trifluoro $(C_1-C_6)$ alkyl-; or phenyl $(CH_2)$ -, wherein said alkyl and phenyl groups are each optionally substituted by one or more halo groups, or  $R^{20}$  is selected from the groups A above, optionally substituted by one or more halo groups; and

$R^{21}$  is selected from hydroxy, halo,  $(C_1-C_6)$ alkyl- optionally substituted by one or more halo, and  $(C_1-C_8)$ alkoxy- optionally substituted by one or more halo; and

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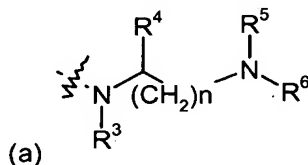


where  $R^{22}$  is H, or  $(C_1-C_6)$ alkyl optionally substituted by one or more halo groups;

$R^{23}$  is a group selected from  $R^{14}$  above, or is  $R^{14}K$ - where K is  $-C(O)-$  or  $-SO_2-$ ; and

15  $R^{24}$  is selected from hydroxy, halo,  $(C_1-C_6)$ alkyl- optionally substituted by one or more halo, and  $(C_1-C_8)$ alkoxy- optionally substituted by one or more halo;

W is (a):

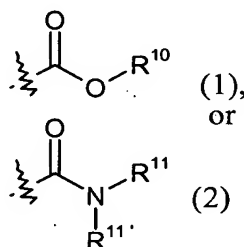


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wherein n is 2-5,

$R^3$  and  $R^6$  are each independently selected from H,  $(C_1-C_8)$ alkyl-, and phenyl $(CH_2)$ -, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups;

R<sup>4</sup> is selected from H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, and phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups; or is

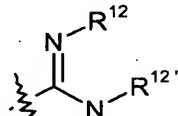


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where groups R<sup>10</sup>, R<sup>11</sup> and R<sup>11'</sup> are each, independently, selected from H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, and phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups;

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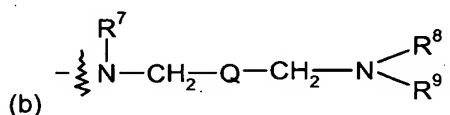
R<sup>5</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, and phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups; or is



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wherein R<sup>12</sup> and R<sup>12'</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, and phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups;

or W is (b)



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wherein Q is selected from the group consisting of :

(i) (C<sub>6</sub>-C<sub>10</sub>)aryl-, selected from phenyl or naphthyl;

(ii) (C<sub>1</sub>-C<sub>9</sub>)heteroaryl-, selected from the group consisting of furyl-, thienyl-  
thiazolyl-, pyrazolyl-, isothiazolyl-, oxazolyl-, isoxazolyl-, pyrrolyl-, triazolyl-, tetrazolyl-,  
imidazolyl-, 1,3,5-oxadiazolyl-, 1,2,4-oxadiazolyl-, 1,2,3-oxadiazolyl-, 1,3,5-thiadiazolyl-, 1,2,3-  
thiadiazolyl-, 1,2,4-thiadiazolyl-, pyridyl-, pyrimidyl-, pyrazinyl-, pyridazinyl-, 1,2,4-triazinyl-,  
1,2,3-triazinyl-, 1,3,5-triazinyl-, pyrazolo[3,4-b]pyridinyl-, cinnolinyl-, pteridinyl-, purinyl-, 6,7-

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dihydro-5H-[1]pyrindinyl-, benzo[b]thiophenyl-, 5, 6, 7, 8-tetrahydro-quinolin-3-yl, benzoxazolyl-, benzothiazolyl-, benzisothiazolyl-, benzisoxazolyl-, benzimidazolyl-, thianaphthenyl-, isothianaphthenyl-, benzofuranyl-, isobenzofuranyl-, isoindolyl-, indolyl-, indolizinyl-, indazolyl-, isoquinolyl-, quinolyl-, phthalazinyl-, quinoxalinyl-, quinazolinyl-, and

5 benzoxazinyl-;

(iii) (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl that is selected from the group consisting of cyclopropyl-, cyclobutyl-, cyclopentyl-, cyclohexyl-, cycloheptyl-, cyclopropenyl-, cyclobutenyl-, cyclopentenyl-, cyclohexenyl-, cycloheptenyl-, 1,3-cyclobutadienyl-, 1,3-cyclopentadienyl-, 1,3-cyclohexadienyl-, 1,4-cyclohexadienyl-, 1,3-cycloheptadienyl-, 1,4-cycloheptadienyl-, 1,3,5-cycloheptatrienyl-, bicyclo[3.2.1]octane, bicyclo [2.2.1] heptane and the norborn-2-ene unsaturated form thereof; and

(iv) (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl that is selected from the group consisting of pyrrolidinyl-, tetrahydrofuranyl-, dihydrofuranyl-, tetrahydropyranyl-, pyranyl-, thiopyranyl-, aziridinyl-, oxiranyl-, methylenedioxy-, chromenyl-, isoxazolidinyl-, 1,3-oxazolidin-3-yl-, isothiazolidinyl-, 1,3-thiazolidin-3-yl-, 1,2-pyrazolidin-2-yl-, 1,3-pyrazolidin-1-yl-, piperidinyl-, thiomorpholinyl-, 1,2-tetrahydrothiazin-2-yl-, 1,3-tetrahydrothiazin-3-yl-, tetrahydrothiadiazinyl-, morpholinyl-, 1,2-tetrahydrodiazin-2-yl-, 1,3-tetrahydrodiazin-1-yl-, tetrahydroazepinyl-, piperazinyl-, and chromanyl;

and R<sup>7</sup>, R<sup>8</sup>, and R<sup>9</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>8</sub>)alkyl-, and phenyl(CH<sub>2</sub>)-, wherein said alkyl and phenyl groups are optionally substituted by one or more halo groups.

2. The compound of claim 1, wherein group A' is a (C<sub>6</sub>-C<sub>10</sub>) aryl group selected from phenyl and naphthyl.

3. The compound of claim 1, wherein group A' is a (C<sub>1</sub>-C<sub>9</sub>) heteroaryl group that is selected from the group consisting of furyl-, thienyl-, thiazolyl-, pyrazolyl-, isothiazolyl-, oxazolyl-, isoxazolyl-, pyrrolyl-, triazolyl-, tetrazolyl-, imidazolyl-, 1,3,5-oxadiazolyl-, 1,2,4-oxadiazolyl-, 1,2,3-oxadiazolyl-, 1,3,5-thiadiazolyl-, 1,2,3-thiadiazolyl-, 1,2,4-thiadiazolyl-, pyridyl-, pyrimidyl-, pyrazinyl-, pyridazinyl-, 1,2,4-triazinyl-, 1,2,3-triazinyl-, 1,3,5-triazinyl-, pyrazolo[3,4-b]pyridinyl-, cinnolinyl-, pteridinyl-, purinyl-, 6,7-dihydro-5H-[1]pyrindinyl-, benzo[b]thiophenyl-, 5, 6, 7, 8-tetrahydro-quinolin-3-yl-, benzoxazolyl-, benzothiazolyl-, benzisothiazolyl-, benzisoxazolyl-, benzimidazolyl-, thianaphthenyl-, isothianaphthenyl-, benzofuranyl-, isobenzofuranyl-, isoindolyl-, indolyl-, indolizinyl-, indazolyl-, isoquinolyl-, quinolyl-, phthalazinyl-, quinoxalinyl-, quinazolinyl-, and benzoxazinyl-.

4. The compound of claim 1, wherein group A' is optionally substituted by one to five groups, each independently selected from the group consisting of hydroxy, halo, amino, trifluoromethyl, carboxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-, (C<sub>1</sub>-C<sub>6</sub>)acyloxy-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino-, (C<sub>1</sub>-C<sub>6</sub>)acylamino-, cyano, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>2</sub>-C<sub>6</sub>)alkynyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino-, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl-, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>3</sub>)alkyl(difluoromethylene)(C<sub>1</sub>-C<sub>3</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)acylamino-, amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, amino(C<sub>1</sub>-C<sub>6</sub>)acyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acyloxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, piperazinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(difluoromethylene)-, (C<sub>1</sub>-C<sub>3</sub>)alkyl(difluoromethylene)(C<sub>1</sub>-C<sub>3</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)acyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>5</sub>-C<sub>9</sub>)heteroaryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl-, (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, hydroxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acyloxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>2</sub>-C<sub>6</sub>)alkyl-, piperazinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylthio(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl-, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl-, and ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl.

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5. The compound of claim 1, wherein group Q of group W, option (a), is a (C<sub>6</sub>-C<sub>10</sub>) aryl group selected from phenyl and naphthyl.

6. The compound of claim 1, wherein group Q of group W, option (a), is a (C<sub>1</sub>-C<sub>9</sub>) heteroaryl group that is selected from the group consisting of furyl-, thienyl-, thiazolyl-, pyrazolyl-, isothiazolyl-, oxazolyl-, isoxazolyl-, pyrrolyl-, triazolyl-, tetrazolyl-, imidazolyl-, 1,3,5-oxadiazolyl-, 1,2,4-oxadiazolyl-, 1,2,3-oxadiazolyl-, 1,3,5-thiadiazolyl-, 1,2,3-thiadiazolyl-, 1,2,4-thiadiazolyl-, pyridyl-, pyrimidyl-, pyrazinyl-, pyridazinyl-, 1,2,4-triazinyl-, 1,2,3-triazinyl-, 1,3,5-triazinyl-, pyrazolo[3,4-b]pyridinyl-, cinnolinyl-, pteridinyl-, purinyl-, 6,7-dihydro-5H-[1]pyrindinyl-, benzo[b]thiophenyl-, 5, 6, 7, 8-tetrahydro-quinolin-3-yl-, benzoxazolyl-, benzothiazolyl-, benzisothiazolyl-, benzisoxazolyl-, benzimidazolyl-, thianaphthenyl-,

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isothianaphthenyl-, benzofuranyl-, isobenzofuranyl-, isoindolyl-, indolyl-, indolizinyl-, indazolyl-, isoquinolyl-, quinolyl-, phthalazinyl-, quinoxalyl-, quinazolyl-, and benzoxazinyl-.

7. The compound of claim 1, wherein group Q of group W, option (a), is a (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl group that is selected from the group consisting of cyclopropyl-, cyclobutyl-, cyclopentyl-, cyclohexyl-, cycloheptyl-, cyclopropenyl-, cyclobutenyl-, cyclopentenyl-, cyclohexenyl-, cycloheptenyl-, 1,3-cyclobutadienyl-, 1,3-cyclopentadienyl-, 1,3-cyclohexadienyl-, 1,4-cyclohexadienyl-, 1,3-cycloheptadienyl-, 1,4-cycloheptadienyl-, 1,3,5-cycloheptatrienyl-, bicyclo[3.2.1]octane-, bicyclo [2.2.1] heptane-, and the norborn-2-ene unsaturated form thereof.

8. The compound of claim 1, wherein group Q of group W, option (a), is a (C<sub>3</sub>-C<sub>10</sub>)heterocycloalkyl group that is selected from the group consisting of pyrrolidinyl-, tetrahydrofuranyl-, dihydrofuranyl-, tetrahydropyranyl-, pyranyl-, thiopyranyl-, aziridinyl-, oxiranyl-, methylenedioxy-, chromenyl-, isoxazolidinyl-, 1,3-oxazolidin-3-yl-, isothiazolidinyl-, 1,3-thiazolidin-3-yl-, 1,2-pyrazolidin-2-yl-, 1,3-pyrazolidin-1-yl-, piperidinyl-, thiomorpholinyl-, 1,2-tetrahydrothiazin-2-yl-, 1,3-tetrahydrothiazin-3-yl-, tetrahydrothiadiazinyl-, morpholinyl-, 1,2-tetrahydrodiazin-2-yl-, 1,3-tetrahydrodiazin-1-yl-, tetrahydroazepinyl-, piperazinyl-, and chromanyl.

9. The compound of claim 1 wherein component W thereof is an optionally substituted histidine residue.

10. The compound of claim 1, wherein one or more of R<sup>13</sup>, R<sup>16</sup>, R<sup>19</sup> and R<sup>22</sup> is trifluoromethyl.

11. The compound of claim 1 wherein an R group selected from the group consisting of R<sup>15</sup>, R<sup>18</sup>, R<sup>21</sup> and R<sup>24</sup> is trifluoromethyl.

12. The compound of claim 1 wherein an R group selected from the group consisting of R<sup>14</sup>, R<sup>17</sup>, R<sup>20</sup>, and R<sup>23</sup> is trifluoromethyl.

13. A compound selected from the group consisting of  
6-Amino-2-([2-(toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H-β-carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;  
6-Amino-2-([2-(biphenyl-4-sulfonyl)-2,3,4,9-tetrahydro-1H-β-carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;

- 6-Amino-2-[[2-(biphenyl-4-carbonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-[(2-biphenyl-4-ylmethyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl)-amino]-hexanoic acid methyl ester;
- 5 6-Amino-2-[[1-(3-benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-([1-[4-(4-trifluoromethyl-phenoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;
- 10 6-Amino-2-[[1-(4-butyl-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-[[1-(4-pyrrolidin-1-yl-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-([1-[2-(4-isopropyl-phenyl)-1-methyl-ethyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;
- 15 6-Amino-2-([1-[3-(4-trifluoromethyl-phenoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;
- 6-Amino-2-[[1-(3-benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 20 6-Amino-2-[[1-(3-benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-[[1-(1-methyl-3-phenyl-butyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 6-Amino-2-[[2-(toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 25 5-Guanidino-2-[[2-(toluene-4-sulfonyl)-1,2,3,4-tetrahydro-isoquinoline-3-carbonyl]-amino]-pentanoic acid tert-butyl ester;
- 5-Guanidino-2-[[2-(toluene-4-sulfonyl)-1,2,3,4-tetrahydro-isoquinoline-3-carbonyl]-amino]-pentanoic acid methyl ester;
- 6-Amino-2-([2-[4-(2-oxo-2,3-dihydro-benzoimidazol-1-yl)-piperidine-1-carbonyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino)-hexanoic acid tert-butyl ester;
- 30 6-Amino-2-([2-[(1H-indol-3-yl)-acetyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino)-hexanoic acid methyl ester;
- 6-Amino-2-[[1-(4-isopropyl-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester; and
- 35 6-Amino-2-[[1-(3-trifluoromethyl-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester.



14. A compound selected from the group consisting of
- 6-Amino-2-[[4-methyl-2-(toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid methyl ester;
- 5 6-Amino-2-[[4-methyl-2-(toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 6-Amino-2-[[2-(biphenyl-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 6-Amino-2-[[2-(biphenyl-4-carbonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 10 6-Amino-2-[[2-(biphenyl-4-ylmethyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 2-(Toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;
- 15 2-(Toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;
- 2-(Biphenyl-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;
- 2-(Biphenyl-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;
- 20 2-(Biphenyl-4-carbonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;
- 2-(Biphenyl-4-carbonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;
- 25 2-Biphenyl-4-ylmethyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;
- 2-Biphenyl-4-ylmethyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;
- 6-Amino-2-[[1-(3-benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl]-amino]-hexanoic acid tert-butyl ester;
- 30 1-(3-Benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;
- 1-(3-Benzyloxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;
- 35 1-[4-(4-Trifluoromethyl-phenoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (3-aminomethyl-cyclohexylmethyl)-amide;

1-[4-(4-Trifluoromethyl-phenoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carboxylic acid (4-aminomethyl-pyridin-2-ylmethyl)-amide;

6-Amino-2-({1-[4-(4-trifluoromethyl-phenoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

5 6-Amino-2-({2-(4-methyl-benzoyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

6-Amino-2-({2-(4-methyl-benzyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

10 6-Amino-2-[(2-benzyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl)-amino]-hexanoic acid tert-butyl ester;

6-Amino-2-[(2-benzoyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl)-amino]-hexanoic acid tert-butyl ester;

6-Amino-2-[(2-benzenesulfonyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl)-amino]-hexanoic acid tert-butyl ester;

15 6-Amino-2-({1-methyl-2-(toluene-4-sulfonyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

6-Amino-2-({1-(3-benzyloxy-phenyl)-1-methyl-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

20 6-Amino-2-({1-[3-(4-fluoro-benzyloxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

6-Amino-2-({1-(2-benzyloxy-pyridin-4-yl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

6-Amino-2-({1-[3-(pyridin-2-ylmethoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

25 6-Amino-2-({1-[3-(1-phenyl-ethoxy)-phenyl]-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid tert-butyl ester;

6-Amino-2-({1-(4-phenoxy-phenyl)-2,3,4,9-tetrahydro-1H- $\beta$ -carboline-3-carbonyl}-amino)-hexanoic acid methyl ester;

30 2-[(2-Benzoyl-1,2,3,4-tetrahydro-isoquinoline-3-carbonyl)-amino]-5-guanidino-pentanoic acid tert-butyl ester;

2-[(2-Benzyl-1,2,3,4-tetrahydro-isoquinoline-3-carbonyl)-amino]-5-guanidino-pentanoic acid tert-butyl ester; and

2-[(2-Benzenesulfonyl-1,2,3,4-tetrahydro-isoquinoline-3-carbonyl)-amino]-5-guanidino-pentanoic acid tert-butyl ester.

15. A pharmaceutical composition for increasing growth hormone secretion in a mammal, comprising an effective amount of a compound according to claim 1, and a pharmaceutical carrier.

5 16. A pharmaceutical composition for increasing secretion of gastrin or glucagon in a mammal, comprising an effective amount of a compound according to claim 1, and a pharmaceutical carrier.

10 17. A pharmaceutical composition for inhibiting the binding of somatostatin to an sst2 receptor, comprising an effective amount of a compound according to claim 1, and a pharmaceutical carrier.

15 18. A method for increasing growth hormone secretion in a mammal, comprising administering an effective amount of a pharmaceutical composition according to claim 15.

19. A method for increasing secretion of gastrin or glucagon in a mammal, comprising administering an effective amount of a pharmaceutical composition according to claim 16.

20 20. A method for decreasing somatostatin-induced downregulation of growth hormone secretion in a mammal, comprising administering an effective amount of a pharmaceutical composition according to claim 17.

25 21. A pharmaceutical composition useful to cause sustained release of growth hormone in a mammal in need thereof, comprising a compound according to claim 1, and a pharmaceutical carrier.

22. A method for facilitating the sustained secretion of growth hormone in a mammal in need thereof, wherein said mammal possesses:

30 (a) a defect in (1) the expression of the encoding nucleotide sequence for growth hormone, (2) the processing of resultant mRNA, or (3) the translation or intracellular processing and packaging of GH or precursor polypeptide thereof; or

(b) an allele of the growth hormone gene which codes for a growth hormone polypeptide that is insufficiently active;

35 which comprises administering an effective amount of a pharmaceutical composition according to claim 21.

23. A method for treating a human for one or more symptoms of insufficient growth hormone secretion, wherein said symptom is selected from frailty, hypoglycemia, wrinkled skin, slow skeletal growth, reduced immune function, and reduced organ function, comprising administering an effective amount of a pharmaceutical composition according to claim 15.

24. A method for treating a non-human mammal to enhance the growth and performance thereof, comprising administering an effective amount of a pharmaceutical composition according to claim 15.

25. A pharmaceutical composition according to claim 15 further comprising growth hormone releasing peptide (GHRP) or growth hormone releasing hormone (GHRH).

26. A method for increasing growth hormone secretion in a mammal, comprising administering an effective amount of a pharmaceutical composition according to claim 25.

27. A method for increasing growth hormone secretion in a mammal, comprising administering an effective amount of a pharmaceutical composition according to claim 15, and a further composition comprising growth hormone releasing peptide (GHRP) or growth hormone releasing hormone (GHRH).